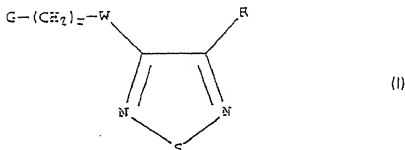


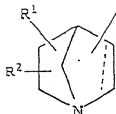
CLAIMS

1. A compound of formula I or the quaternized form thereof



wherein W is oxygen or sulphur; R is selected from the group consisting of hydrogen, amino, halogen, NHR^6 , NR^6R^7 , R^4 , $-\text{OR}^4$, $-\text{SR}^4$, $-\text{SOR}^4$, $-\text{SO}_2\text{R}^4$, C_{3-10} -cycloalkyl, C_{4-12} -(cycloalkylalkyl), $-\text{Z}-\text{C}_{3-10}$ -cycloalkyl and $-\text{Z}-\text{C}_{4-12}$ -(cycloalkylalkyl) which is optionally substituted with C_{1-8} -alkyl; R^4 is selected from the group consisting of C_{1-15} -alkyl, C_{2-15} -alkenyl, C_{2-15} -alkynyl and C_{4-15} -alkenynyl, each of which is optionally substituted with one or more independently selected from the group consisting of halogen(s), $-\text{CF}_3$, $-\text{CN}$, Y, phenyl and phenoxy wherein phenyl or phenoxy is optionally substituted with one or more independently selected from the group consisting of $-\text{OH}$, halogen, $-\text{NO}_2$, $-\text{CN}$, C_{1-4} -alkyl, C_{1-4} -alkylthio, C_{1-4} -alkoxy, $-\text{SCF}_3$, $-\text{OCF}_3$, $-\text{CF}_3$, $-\text{CONH}_2$ and $-\text{CSNH}_2$; or R is phenyl or benzyloxycarbonyl, each of which is optionally substituted with one or more independently selected from the group consisting of halogen, $-\text{CN}$, C_{1-4} -alkyl, C_{1-4} -alkoxy, $-\text{OCF}_3$, $-\text{CF}_3$, $-\text{CONH}_2$ and $-\text{CSNH}_2$; or R is selected from the group consisting of $-\text{OR}^5\text{Y}$, $-\text{SR}^5\text{Y}$, OR^5ZY , $-\text{SR}^5\text{ZY}$, $-\text{OR}^5\text{ZR}^4$ and $-\text{SR}^5\text{ZR}^4$; Z is oxygen or sulphur; R^5 is C_{1-15} -alkylene, C_{2-15} -alkenylene, C_{2-15} -alkynylene or C_{4-15} -alkenynylene; Y is a 5 or 6 membered heterocyclic group optionally substituted with one or more independently selected from the group consisting of $-\text{OH}$, halogen, $-\text{NO}_2$, $-\text{CN}$, C_{1-4} -alkyl, C_{1-4} -alkylthio, C_{1-4} -alkoxy, $-\text{SCF}_3$, $-\text{OCF}_3$, $-\text{CF}_3$, $-\text{CONH}_2$ and $-\text{CSNH}_2$; G is

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(G)

R⁶ and R⁷ independently are selected from the group consisting of hydrogen and C₁₋₆-alkyl; or R⁶ and R⁷ together with the nitrogen atom optionally form a 4- to 6-membered ring; R¹ and R² independently are selected from the group consisting of hydrogen, -OH, =O, C₁₋₁₅-alkyl, C₂₋₁₅-alkenyl, C₂₋₁₅-alkynyl, C₁₋₁₀-alkoxy, and C₁₋₅-alkyl substituted with one or more independently selected from the group consisting of -OH, -COR⁸, -CH₂OH, halogen, -NH₂, carboxy and phenyl; R⁸ is hydrogen, C₁₋₆-alkyl; r is 0, 1 or 2; --- is a single or double bond; or a pharmaceutically acceptable salt or solvate thereof.

2. A compound of claim 1 wherein G is saturated.
3. A compound according to claim 1 or 2 wherein G is

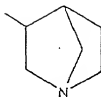


(G)

and wherein the -(CH₂)_r-W-thiadiazole is attached to the 3- or 4-position of G.

4. A compound according to anyone of the preceding claims wherein G is

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(G)

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5. A compound according to anyone of the preceeding claims wherein r is 0.

6. A compound according to anyone of the preceeding claims wherein W is oxygen.

7. A compound according to anyone of the preceding claims wherein R is $-OR^4$, $-SR^4$, $-SOR^4$, $-SO_2R^4$, $-Z-C_{3-10}$ -cycloalkyl or $-Z-C_{4-12}$ -(cycloalkylalkyl) which is optionally substituted with C_{1-6} -alkyl or R is $-OR^5Y$, $-SR^5Y$, $-OR^5ZY$, $-SR^5ZY$, $-OR^5ZR^4$ or $-SR^5ZR^4$, wherein R^4 , R^5 , Z and Y are as defined above.

8. A compound according to anyone of the preceding claims wherein R is $-OR^4$, $-SR^4$, $-OR^5ZY$, $-SR^5ZY$, $-OR^5ZR^4$ or $-SR^5ZR^4$, wherein R^4 , R^5 , Z and Y are as defined above.

9. A compound according to anyone of the preceeding claims wherein R^4 is C_{1-15} -alkyl, C_{2-15} -alkenyl, C_{2-15} -alkynyl or C_{4-15} -alkenynyl, each of which is optionally substituted with one or more independently selected from the group consisting of halogen(s), $-CF_3$, $-CN$, Y and phenyl which is optionally substituted with one or or more independently selected from the group consisting of $-OH$, halogen, $-CN$, C_{1-4} -alkyl, C_{1-4} -alkylthio, C_{1-4} -alkoxy, $-SCF_3$, $-OCF_3$, and CF_3 , wherein Y is as defined above.

10. A compound according to anyone of the preceding claims wherein R is $-OR^4$ or $-SR^4$, wherein R^4 is straight or branched C_{2-8} -alkynyl substituted with phenyl or Y each of which is optionally substituted with $-OH$, halogen, $-NO_2$, $-CN$, C_{1-4} -alkyl, C_{1-4} -alkylthio, C_{1-4} -alkoxy, $-SCF_3$, $-OCF_3$, $-CF_3$, $-CONH_2$ or $-CSNH_2$, wherein Y is as defined above.

11. A compound according to anyone of the preceding claims wherein R is
-OR⁴ or -SR⁴, wherein R⁴ is propynyl substituted with phenyl, thiophene, pyridine,
furan or thiazole each of which is optionally substituted with halogen, -CN, C₁₋₄-
alkoxy or -OCF₃.

12. A compound according to claim 1 which is selected from the following:

Endo 3-(3-butylthio-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-propylthio-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-propylsulfonyl-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-[3-(4-fluorophenyl)-2-propynyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-
azabicyclo[2.2.1]heptane,

Endo 3-(3-[3-phenyl-2-propynyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-azabi-
cyclo[2.2.1]heptane,

Endo 3-(3-[3-(3-methoxyphenyl)-2-propynyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-
azabicyclo[2.2.1]heptane,

Endo 3-(3-[3-methyl-2-butenyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicy-
clo[2.2.1]heptane,

Endo 3-(3-[2-cyclopropylethyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-azabi-
cyclo[2.2.1]heptane,

Endo 3-(3-[4-fluorobenzyloxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo-
[2.2.1]heptane,

Endo 3-(3-[2-butenyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-[2-butenyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

5 Endo 3-(3-methylthioethoxy-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo-
[2.2.1]heptane,

Endo 3-(3-methoxyethoxy-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

10 Endo 3-(3-[4-trifluoromethoxybenzyloxy]-1,2,5-thiadiazol-4-yloxy)-1-
azabicyclo[2.2.1]heptane,

15 Endo 3-(3-[4,4,4-trifluorobutyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-abi-
cyclo[2.2.1]heptane,

20 Endo 3-(3-[2-fluoro-4-(trifluoromethyl)-benzyloxy]-1,2,5-thiadiazol-4-yloxy)-1-
azabicyclo[2.2.1]heptane,

25 Endo 3-(3-[3-(4-chlorophenyl)-2-propynyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-
azabicyclo[2.2.1]heptane,

Endo 3-(3-[1-(3-methoxyphenyl)-1-pentyn-3-yloxy]-1,2,5-thiadiazol-4-yloxy)-1-
azabicyclo[2.2.1]heptane,

30 Endo 3-(3-[1-(3-methoxyphenyl)-4-methyl-1-pentyn-3-yloxy]-1,2,5-thiadiazol-4-
yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(2,2,2-trifluoroethyl-1-oxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(cyclobutylmethyloxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(3-(3-trifluoromethylphenyl)-2-propynyl-1-oxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo (Z)-3-(3-(5-(4-fluorophenyl)-3-methyl-2-penten-4-yn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo (E)-3-(3-(5-(4-fluorophenyl)-3-methyl-2-penten-4-yn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(3-pyridyl)-2-propyn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo (E/Z)-3-(3-(5-(4-fluorophenyl)-2-penten-4-yn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(2-pyridyl)-2-propyn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(3-(3-furyl)-2-propyn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(2,2,3,3,4,4,4-heptafluorobutyl-1-oxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(3-(3-fluorophenyl)-2-propyn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(3,3,3-trifluoropropylthio)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo-
[2.2.1]heptane,

- 5 Endo 3-(3-(4,4,4-trifluorobutylthio)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo-
[2.2.1]heptane,

Endo 3-(3-(4-cyanobenzylthio)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo-
[2.2.1]heptane,

Endo 3-(3-(2-cyanoethylthio)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo-
[2.2.1]heptane,

Endo 3-(3-(2,4-difluorobenzylthio)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo-
[2.2.1]heptane,

Endo 3-(3-(2-fluoroethyl-1-oxy)-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo-
[2.2.1]heptane,

- 20 Endo 3-(3-butylsulfonyl-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-(3-(3-thienyl)-2-propyn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-
azabicyclo[2.2.1]heptane,

- 25 Endo 3-(3-(3-(2-thienyl)-2-propyn-1-yloxy)-1,2,5-thiadiazol-4-yloxy)-1-
azabicyclo[2.2.1]heptane,

Endo 3-(3-[1-cyclopropylethyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-abi-
cyclo[2.2.1]heptane,

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Endo 3-(3-{3-(3-fluorophenyl)-4-methyl-1-pentyn-3-yloxy}-1,2,5-thiadiazol-4-
yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-[1-(4-fluorophenyl)-4-methyl-1-pentyn-3-yloxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

5 Endo 3-(3-[1-(2-thienyl)-4-methyl-1-pentyn-3-yloxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-[1-(3-chlorophenyl)-4-methyl-1-pentyn-3-yloxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

10 Endo 3-(3-[3-(3-chlorophenyl)-2-propynyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

15 Endo 3-(3-[3-(3,5-difluorophenyl)-2-propynyl-1-oxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

20 Endo 3-(3-[1-(2-pyridyl)-4-methyl-1-pentyn-3-yloxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-[1-(3,5-dichlorophenyl)-4-methyl-1-pentyn-3-yloxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

Endo 3-(3-[1-(3,5-difluorophenyl)-4-methyl-1-pentyn-3-yloxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

25 Endo 3-(3-[3-(2-thiazolyl)-2-propyn-1-yloxy]-1,2,5-thiadiazol-4-yloxy)-1-azabicyclo[2.2.1]heptane,

or a pharmaceutically acceptable salt or solvate thereof.

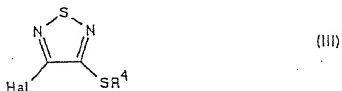
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13. A method of preparing a compound according to claim 1, characterized in

a) reacting a compound of formula II



with first $\text{HSR}^4/\text{Et}_2\text{NH}$ and subsequently S_2Hal_2 , wherein R^4 has the meaning defined above, to form a compound of formula III



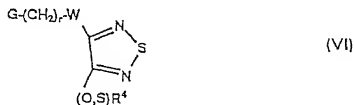
wherein R^4 has the meaning defined above; or the compound of formula II is first reacted with $\text{HOR}^4/\text{Et}_3\text{N}$ and subsequently with S_2Hal_2 , wherein R^4 has the meaning defined above, to form a compound of formula IV



wherein R^4 has the meaning defined above; and a compound of formula III or formula IV can subsequently be reacted in the presence of an alkoxide metal with a compound of formula V

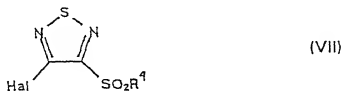


wherein G, r and W have the meanings defined above, to form a compound of formula VI selected from the following

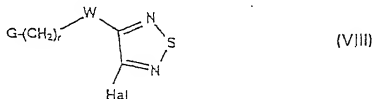


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10828049604660
099409630082801
wherein G, R, W and R⁴ have the meanings defined above; or

b) a compound of formula III can be oxidized to form a compound of formula VII

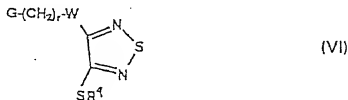


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wherein R⁴ has the meaning defined above, which subsequently can be reacted with a compound of formula V to form a compound of formula VIII

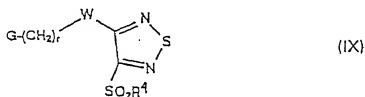


wherein G, r and W have the meanings defined above which compound can subsequently be reacted with either R-OH or RMgHal to form a compound of formula I; or

c) a compound of formula VI



wherein G, r, W and R⁴ have the meanings defined above, can be oxidized to form a compound of formula IX



25 wherein G, r, W and R⁴ have the meanings defined above which compound subsequently can be reacted with either R-OH or RMgHal to form a compound of formula I.

14. A pharmaceutical composition comprising a compound according to claim

30 1 together with one or more pharmaceutically acceptable carriers or diluents.

15. A pharmaceutical composition for use in treating a disease in the central nervous system caused by malfunctioning of the muscarinic cholinergic system comprising an effective amount of a compound according to claim 1 together with a pharmaceutically acceptable carrier or diluent.

16. The pharmaceutical composition according to claim 14 or 15 in the form of an oral dosage unit or parenteral dosage unit.

17. The pharmaceutical composition according to claim 16, wherein said dosage unit comprises from about 0.1 to about 100 mg of the compound according to claim 1.

18. A method of treating a disease in the central nervous system caused by malfunctioning of the muscarinic cholinergic system comprising administering to a subject in need thereof a pharmaceutically effective amount of a compound according to claim 1.

19. A method of treating a disease in the central nervous system caused by malfunctioning of the muscarinic cholinergic system comprising administering to a subject in need thereof a pharmaceutical composition according to claims 14 to 17.

20. The use of a compound according to claim 1 or a pharmaceutically acceptable salt thereof for the preparation of a medicament for treatment of a disease in the central nervous system caused by malfunctioning of the muscarinic cholinergic system.

21. A method for treating a condition associated with the modulation of a muscarinic cholinergic receptor comprising administering to a subject in need thereof a pharmaceutically effective amount of a compound according to claim 1.

22. The use of a compound according to claim 1 or a pharmaceutically acceptable salt thereof for the preparation of a medicament for treatment of a condition associated with the modulation of a muscarinic cholinergic receptor.

23. A method for interacting with a muscarinic cholinergic receptor comprising administering to a subject in need thereof an effective amount of a compound according to claim 1.

24. The use of a compound according to claim 1 or a pharmaceutically acceptable salt hereof for the preparation of a medicament for interacting with a muscarinic cholinergic receptor.